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NEWS 2	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 3	MAR 16	CASREACT coverage extended
NEWS 4	MAR 20	MARPAT now updated daily
NEWS 5	MAR 22	LWPI reloaded
NEWS 6	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 7	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS 8	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS 9	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS 10	APR 30	CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 11	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS 12	MAY 01	New CAS web site launched
NEWS 13	MAY 08	CA/CAplus Indian patent publication number format defined
NEWS 14	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 15	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS 16	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS 17	MAY 21	CA/CAplus enhanced with additional kind codes for German patents
NEWS 18	MAY 22	CA/CAplus enhanced with IPC reclassification in Japanese patents
NEWS 19	JUN 27	CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS 20	JUN 29	STN Viewer now available
NEWS 21	JUN 29	STN Express, Version 8.2, now available
NEWS 22	JUL 02	LEMBASE coverage updated
NEWS 23	JUL 02	LMEDLINE coverage updated
NEWS 24	JUL 02	SCISEARCH enhanced with complete author names
NEWS 25	JUL 02	CHEMCATS accession numbers revised
NEWS 26	JUL 02	CA/CAplus enhanced with utility model patents from China

NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

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NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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                                                           ENTRY    SESSION
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FILE LAST UPDATED: 5 Jul 2007  (20070705/ED)

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http://www.cas.org/infopolicy.html

=> s 5-HT receptor?
       6425639 5
         56268 HT
          5355 HTS
         61483 HT
                 (HT OR HTS)
        842155 RECEPTOR?
L1       13577 5-HT RECEPTOR?
                 (5(W)HT(W)RECEPTOR?)

=> s l1 and py<2003
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L2        8597 L1 AND PY<2003

=> s l2 and disorder?
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L3        1178 L2 AND DISORDER?

=> s l3 and alzheimer?
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L4         100 L3 AND ALZHEIMER?

=> s l4 and parkinson?
         27244 PARKINSON?
L5          31 L4 AND PARKINSON?

=> d ibib abs hitstr l4 1-20

L4   ANSWER 1 OF 100  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:        2004:964915  CAPLUS
```

DOCUMENT NUMBER: 141:422907
TITLE: Protein-protein interactions identifying drug targets and compositions and methods for treating neurological disorders and diseases
INVENTOR(S): Roch, Jean-Marc; Bartel, Paul; Heichman, Karen
PATENT ASSIGNEE(S): Myriad Genetics, Incorporated, USA
SOURCE: U.S. Pat. Appl. Publ., 247 pp., Cont.-in-part of U.S. Ser. No. 194,967.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004226056	A1	20041111	US 2004-776013	20040209
US 2002040484	A1	20020404	US 2001-948904	20010910 <--
US 2002120947	A1	20020829	US 2001-949143	20010910 <--
US 2002045201	A1	20020418	US 2001-970898	20011005 <--
US 2002048769	A1	20020425	US 2001-970814	20011005 <--
US 2002059653	A1	20020516	US 2001-970666	20011005 <--
US 2002054876	A1	20020509	US 2001-971675	20011009 <--
US 2002069424	A1	20020606	US 2001-971677	20011009 <--
US 2002106676	A1	20020808	US 2001-973963	20011011 <--
US 6653102	B2	20031125		
US 2002115606	A1	20020822	US 2001-973964	20011011 <--
US 2002124273	A1	20020905	US 2001-973965	20011011 <--
US 2002164655	A1	20021107	US 2001-973941	20011011 <--
US 2002115607	A1	20020822	US 2001-975072	20011012 <--
WO 2002032286	A2	20020425	WO 2001-US32186	20011016 <--
WO 2002032286	A3	20030116		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 200214589	A	20020429	AU 2002-14589	20011016 <--
US 2007087363	A1	20070419	US 2006-523767	20060918

PRIORITY APPLN. INFO.:

US 1998-113534P	P	19981222
US 1999-124120P	P	19990312
US 1999-141243P	P	19990630
US 1999-466139	B3	19991221
US 2000-240790P	P	20001017
US 2001-304775P	P	20010713
US 2001-948904	B2	20010910
US 2001-975072	B2	20011012
US 2002-194967	A2	20020715
WO 2001-US32186	W	20011016
US 2004-776013	B2	20040209
US 2005-717799P	P	20050916
US 2005-748419P	P	20051207
US 2005-751918P	P	20051219
US 2006-802018P	P	20060519

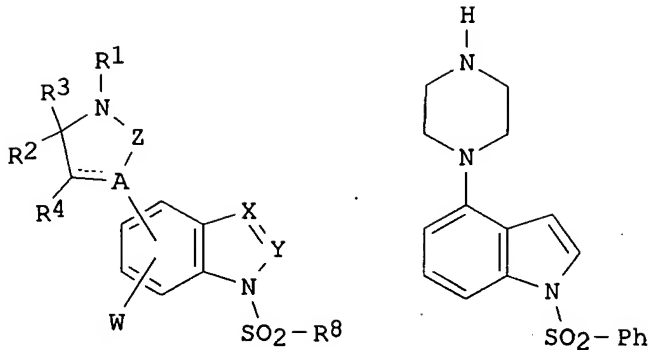
AB The present invention generally relates to methods and compns. for treating neurol. disorders and diseases. The invention is based on the discovery of novel interactions involving several newly discovered interacting proteins in neurodegenerative disorders and

neurodegenerative disease pathways, suggesting that modulation of such interactors may lead to alleviation of symptoms, delay of onset of symptoms, or treatment of the diseases or symptoms of the diseases. The interacting proteins identified in yeast two-hybrid assay systems include: focal adhesion kinase 2 (FAK2), δ-catenin, glypican 1, HLA-B-associated transcript 3 (BAT3), low-d. lipoprotein receptor-related protein 2 (LRP2), transthyretin, protein PN7740, amyloid β (A4) precursor protein-binding family A member 1 (APBA1 or Mint1), presenilin 1 alternative transcript (PSI(467)), glutamate ammonia ligase, and others. In addition, the protein-protein interactions can facilitate the formation of protein complexes both in vitro and in vivo. This enables novel approaches for drug screening to select not only drug candidates that modulate the well-known drug targets employed in the interaction discovery process, but also drug candidates that modulate either the newly discovered interactor proteins or the protein-protein interactions themselves.

L4 ANSWER 2 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:802568 CAPLUS
DOCUMENT NUMBER: 141:296050
TITLE: Preparation of 1-alkylsulfonylheterocyclylbenzazoles and related compounds as 5-hydroxytryptamine-6 ligands
INVENTOR(S): Kelly, Michael Gerard; Cole, Derek Cecil
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S. Ser. No. 3,015, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

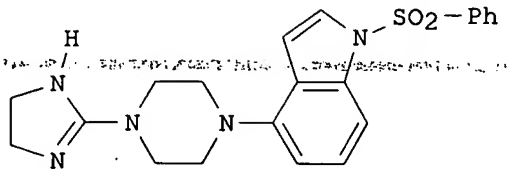
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
US 2004192749	A1	20040930	US 2004-759595		20040116	
US 7034029	B2	20060425				
US 2002115670	A1	20020822	US 2001-3015		20011101	<--
US 2004087595	A1	20040506	US 2003-727956		20031204	
US 2004132741	A1	20040708	US 2003-728330		20031204	
US 2006116384	A1	20060601	US 2006-324865		20060104	
PRIORITY APPLN. INFO.:			US 2000-245118P	P	20001102	
			US 2001-3015	B2	20011101	
			US 2004-759595	A3	20040116	

OTHER SOURCE(S): MARPAT 141:296050
GI



I

II



III

AB Title compds. I [A = C, CR10, N; X = CR11, N; Y = CR7, N with the proviso that when X = N, then Y = CR7; Z = (CR5R6)m; W = (R9)n ; R1 = H, alkylcarbonyl, alkylcarbonyloxy, etc.; R2, R3, R4, R5, R6 = H, halo, OH, etc.; R7, R11 = H, halo, alkyl, etc.; R8 = alkyl, (un)substituted aryl, heteroaryl; R9 = H, halo, alkyl, etc.; R10 = H, OH, (un)substituted alkoxy; m = 1-3; n = 0-3] and their pharmaceutically acceptable salts were prepared For example, condensation of 2-methylthio-2-imidazoline hydroiodide and amine II, e.g., prepared from 1H-indol-4-ylpiperazine in 3-steps, afforded piperazine III. In 5-HT6 binding affinity assays, 53-examples of compds. I exhibited Ki values ranging from 0.3-306 nM, e.g., the Ki of piperazine III was 24 nM. Of note, compds. I demonstrated up to a 50-fold selectivity for the 5-HT6 receptor when compared to their affinity at the 5-HT7 receptor (sic). Compds. I are claimed useful for the treatment of disorders related to or affected by the 5-HT6 receptor, e.g., motor, anxiety and cognitive disorders.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:485556 CAPLUS
DOCUMENT NUMBER: 141:35967
TITLE: Production of neuroblasts in culture media supplemented with a trophic factor
INVENTOR(S): Gage, Fred H.; Ray, Jasodhara
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont. of U.S. 6,599,695.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004048373	A1	20040311	US 2003-622206	20030718
US 5766948	A	19980616	US 1993-147843	19931103 <--

WO 9416059		A1	19940721	WO 1994-US185	19940105 <--
W:	AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN				
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9460836		A	19940815	AU 1994-60836	19940105 <--
EP 677100		A1	19951018	EP 1994-907155	19940105 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08505528		T	19960618	JP 1994-516204	19940105 <--
US 6265175		B1	20010724	US 1997-884427	19970627 <--
US 6013521		A	20000111	US 1998-65858	19980424 <--
US 6020197		A	20000201	US 1998-65883	19980424 <--
US 6045807		A	20000404	US 1998-95769	19980610 <--
US 2002039789		A1	20020404	US 2001-915229	20010724 <--
US 6599695		B2	20030729		
JP 2004121258		A	20040422	JP 2003-370713	20031030
US 2007053887		A1	20070308	US 2006-592504	20061103
PRIORITY APPLN. INFO.:				US 1993-1543	B2 19930106
				US 1993-147843	A3 19931103
				US 1995-445075	B1 19950519
				US 1997-884427	A1 19970627
				US 2001-915229	A1 20010724
				JP 1994-516204	A3 19940105
				WO 1994-US185	W 19940105
				US 2003-622206	A1 20030718

AB A method for producing a neuroblast and a cellular composition comprising an enriched population of neuroblast cells is provided. Also disclosed are methods for identifying compns. which affect neuroblasts and for treating a subject with a neuronal disorder, and a culture system for the production and maintenance of neuroblasts. Neuronal cells are cultured in a serum-free media supplemented with at least one trophic factor (e.g., basic fibroblast growth factor) using a vessel surfaced treated with polybasic amino acid which allows attachment of the cell. The development of primary neuronal cultures maintained as cell lines, known as neuroblasts, using neurotrophic factors in the absence of oncogenic immortalization, now permits investigation of fundamental questions regarding the biochem. and cellular properties of these cells and the dynamics of interaction between their cellular and chemical environment.

L4 ANSWER 4 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2004:473338 CAPLUS
DOCUMENT NUMBER:	141:33838
TITLE:	Thiol reactive agents as a therapeutic modality
INVENTOR(S):	Stamler, Jonathan S.
PATENT ASSIGNEE(S):	USA
SOURCE:	U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. 6,472,390. CODEN: USXXCO
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	3
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004110691	A1	20040610	US 2003-677752	20031003
US 6472390	B1	20021029	US 2001-986807	20011113 <--
US 2003092633	A1	20030515	US 2002-280085	20021025
US 6627602	B2	20030930		
US 2004053852	A1	20040318	US 2003-608120	20030630
US 6964984	B2	20051115		
WO 2005034860	A2	20050421	WO 2004-US32180	20041001

WO 2005034860 A3 20061019
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, US
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1729747 A2 20061213 EP 2004-793914 20041001
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK
PRIORITY APPLN. INFO.: US 2001-986807 A2 20011113
US 2002-280085 A1 20021025
US 2003-608120 A2 20030630
US 2003-677752 A1 20031003
WO 2004-US32180 W 20041001

AB A patient with a disease associated with a receptor having a cysteine residue is treated with a thiol reactive agent. The diseases include neurodegenerative diseases. Diseases characterized by skeletal muscle atrophy are also treated.

L4 ANSWER 5 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:335973 CAPLUS
DOCUMENT NUMBER: 138:383424
TITLE: Association between 5-HT2A receptor polymorphism and psychotic symptoms in Alzheimer's disease. [Erratum to document cited in CA136:261167]
AUTHOR(S): Nacmias, B.; Tedde, A.; Forleo, P.; Piacentin, S.; Guarnieri, B. M.; Bartoli, A.; Ortenzi, L.; Petruzzi, C.; Serio, A.; Marcon, G.; Sorbi, S.
CORPORATE SOURCE: Department of Neurological and Psychiatric Sciences, University of Florence, Florence, Italy
SOURCE: Biological Psychiatry (2001), 50(10), 821
CODEN: BIPCBF; ISSN: 0006-3223
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The corrected versions of Tables 1 and 2 are given.

L4 ANSWER 6 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:15310 CAPLUS
DOCUMENT NUMBER: 139:240108
TITLE: Involvement of 5-HT2A/2B/2C receptors on memory formation: simple agonism, antagonism, or inverse agonism?
AUTHOR(S): Meneses, Alfredo
CORPORATE SOURCE: Department of Pharmacobiology, CINVESTAV-IPN, Mexico City, 14330, Mex.
SOURCE: Cellular and Molecular Neurobiology (2002), 22(5/6), 675-688
CODEN: CMNEDI; ISSN: 0272-4340
PUBLISHER: Kluwer Academic/Plenum Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The 5-HT2 receptors subdivision into the 5-HT2A/2B/2C subtypes along with the advent of the selective antagonists has allowed a more detailed investigation on the role and therapeutic significance of these subtypes in cognitive functions. The present study further analyzed the 5-HT2 receptors role on memory consolidation. The SB-200646 (a selective

5-HT2B/2C receptor antagonist) and LY215840 (a nonselective 5-HT2/7 receptor antagonist) posttraining administration had no effect on an autoshaped memory consolidation. However, both drugs significantly and differentially antagonized the memory impairments induced by 1-(3-chlorophenyl)piperazine (mCPP), 1-naphthyl-piperazine (1-NP), mesulergine, or N-(3-trifluoromethylphenyl) piperazine (TFMPP). In contrast, SB-200646 failed to modify the facilitatory precognitive effect produced by (±)-2,5-dimethoxy-4-iodoamphetamine (DOI) or ketanserin, which were sensitive to MDL100907 (a selective 5-HT2A receptor antagonist) and to a LY215840 high dose. Finally, SB-200646 reversed the learning deficit induced by dizocilpine, but not that by scopolamine; while SB-200646 and MDL100907 coadministration reversed memory deficits induced by both drugs. 5. It is suggested that 5-HT2B/2C receptors might be involved on memory formation probably mediating a suppressive or constraining action. Whether the drug-induced memory impairments in this study are explained by simple agonism, antagonism, or inverse agonism at 5-HT2 receptors remains unclear at this time. Notably, the 5-HT2 receptor subtypes blockade may provide some benefit to reverse poor memory consolidation conditions associated with decreased cholinergic, glutamatergic, and/or serotonergic neurotransmission.

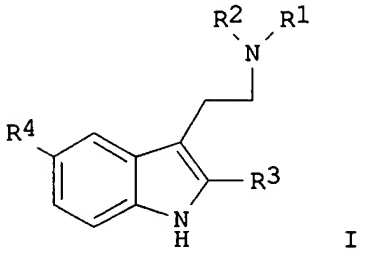
REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:5771 CAPLUS
DOCUMENT NUMBER: 138:49966
TITLE: 5-halo-tryptamine derivatives used as ligands of the 5-HT6 and/or 5-HT7 serotonin receptors, preparation, and therapeutic use
INVENTOR(S): Di Cesare, Maria Assunta; Minetti, Patrizia; Tarzia, Giorgio; Spadoni, Gilberto
PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.P.A., Italy
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000252	A1	20030103	WO 2002-IT398	20020617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IT 2001RM0356	A1	20021223	IT 2001-RM356	20010621 <--
CA 2455296	A1	20030103	CA 2002-2455296	20020617
AU 2002317482	A1	20030108	AU 2002-317482	20020617
EP 1404317	A1	20040407	EP 2002-745793	20020617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010538	A	20040622	BR 2002-10538	20020617
HU 200400250	A2	20040830	HU 2004-250	20020617
CN 1535146	A	20041006	CN 2002-814337	20020617
JP 2004534816	T	20041118	JP 2003-506898	20020617
US 2004235899	A1	20041125	US 2004-481433	20040419

US 7098233 B2 20060829
PRIORITY APPLN. INFO.: IT 2001-RM356 A 20010621
WO 2002-IT398 W 20020617
OTHER SOURCE(S): MARPAT 138:49966
GI



I

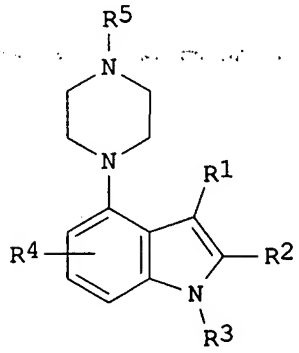
AB Compds. I [R1, R2 = H, (un)branched C1-C6 alkyl; R3 = (un)branched C1-C6 alkyl; R4 = halo], and pharmaceutically acceptable salts thereof, are useful as active ingredients in the preparation of medicaments used as ligands of the 5-HT6 and/or 5-HT7 serotoninergic receptors. Compds. of the invention are useful for the treatment of hypertension, migraine, cognitive disorders, etc. Preparation and receptor affinity of e.g. 5-bromo-2-methyl-N,N-dimethyltryptamine is described.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:977788 CAPLUS
DOCUMENT NUMBER: 138:55865
TITLE: Preparation of 4-piperazinylindoles with 5-HT6 receptor affinity
INVENTOR(S): Briggs, Andrew John; Clark, Robin Douglas; Harris, Ralph New, III; Repke, David Bruce; Wren, Douglas Leslie
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102774	A1	20021227	WO 2002-EP6201	20020606 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2450245	A1	20021227	CA 2002-2450245	20020606 <--
AU 2002345587	A1	20030102	AU 2002-345587	20020606
EP 1401812	A1	20040331	EP 2002-780760	20020606
EP 1401812	B1	20060628		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

BR 2002010411	A	20040817	BR 2002-10411		20020606
JP 2005501019	T	20050113	JP 2003-505317		20020606
CN 1694866	A	20051109	CN 2002-811846		20020606
AT 331707	T	20060715	AT 2002-780760		20020606
US 2003045527	A1	20030306	US 2002-172360		20020614
US 6790848	B2	20040914			
ZA 2003009258	A	20050228	ZA 2003-9258		20031127
PRIORITY APPLN. INFO.:			US 2001-298834P	P	20010615
			US 2002-378748P	P	20020508
			WO 2002-EP6201	W	20020606

OTHER SOURCE(S): MARPAT 138:55865
GI



I

AB The title compds. [I; R1 = H, halo, haloalkyl, alkyl; R2 = H, alkyl, alkoxy, alkylthio; R3 = SO2Ar; Ar = (un)substituted aryl, heteroaryl; R4 = H, halo, alkyl, etc.; R5 = H, CH2Ph, alkyl] and their pharmaceutically acceptable salts have generally 5-HT6 receptor affinity, were prepared and formulated. E.g., a 3-step synthesis of I.HCl [R1, R2 = H; R3 = naphthalene-1-sulfonyl; R4, R5 = H], starting with 4-nitro-1H-indole and naphthalene-1-sulfonyl chloride, which showed pKi of 9.8 against 5-HT6 receptor binding, was given.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:964338 CAPLUS
DOCUMENT NUMBER: 138:24708
TITLE: Preparation of arylsulfonyloxazolamines as 5-HT6 ligands
INVENTOR(S): Greiner, Hartmut; Bartoszyk, Gerd; Boettcher, Henning; Barnickel, Gerhard; Cezanne, Bertram
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

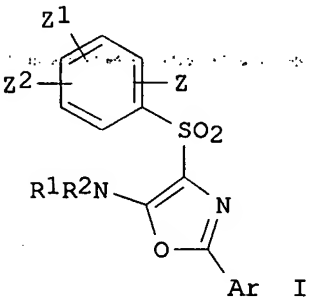
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100842	A1	20021219	WO 2002-EP5394	20020516 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10129940	A1	20021219	DE 2001-10129940	20010613 <--
AU 2002344970	A1	20021223	AU 2002-344970	20020516 <--
PRIORITY APPLN. INFO.:			DE 2001-10129940 A	20010613
			WO 2002-EP5394 W	20020516

OTHER SOURCE(S): MARPAT 138:24708
GI



I

AB Title compds. [I; R1 R2 = H, A, cycloalkyl, (CH2)nAr, (CH2)nOA, (CH2)nNH2, (CH2)nNHA, (CH2)NA2, alkenyl; NR1R2 = mononuclear saturated heterocycle having 1-2 N, O and/or S atoms; Z, Z1, Z2 = H, A, CF3, NO2, Hal, OH, OA, OCF3, SCF3, NH2, NHA, NA2; A = alkyl; Ar = Ph which is mono, di- or trisubstituted by Z; Hal = F, Cl, Br, iodo; n = 1-4], were prepared Thus, N-(1-benzenesulfonyl-2,2-dichlorovinyl)-4-fluorobenzamide (preparation given) and methylamine solution are stirred overnight in THF at room temperature to give [4-benzenesulfonyl-2-(4-fluorophenyl)oxazol-5-yl]methylamine. I have a selective affinity for 5-HT6 receptors with an inhibition constant of <4 μM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:946268 CAPLUS
DOCUMENT NUMBER: 138:24728
TITLE: Preparation of new indole derivatives with 5-HT6 receptor affinity
INVENTOR(S): Beard, Colin Charles; Clark, Robin Douglas; Fisher, Lawrence Emerson; Harris, Ralph New, III; Repke, David Bruce
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098857	A1	20021212	WO 2002-EP5890	20020529 <--
WO 2002098857	A8	20040422		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2449874	A1	20021212	CA 2002-2449874	20020529 <--
AU 2002310747	A1	20021216	AU 2002-310747	20020529 <--
NZ 529631	A	20031219	NZ 2002-529631	20020529
EP 1401813	A1	20040331	EP 2002-735394	20020529
EP 1401813	B1	20070207		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2002010929	A	20040608	BR 2002-10929	20020529
CN 1527816	A	20040908	CN 2002-811524	20020529
JP 2004533460	T	20041104	JP 2003-501846	20020529
HU 200401307	A2	20041228	HU 2004-1307	20020529
AT 353318	T	20070215	AT 2002-735394	20020529
RU 2294932	C2	20070310	RU 2003-136731	20020529
TW 591015	B	20040611	TW 2002-91111843	20020603
US 2003073700	A1	20030417	US 2002-164660	20020606
US 6787535	B2	20040907		
ZA 2003009005	A	20050221	ZA 2003-9005	20031119
IN 2003CN01913	A	20060106	IN 2003-CN1913	20031204
BG 108420	A	20050228	BG 2003-108420	20031205
US 2004248902	A1	20041209	US 2004-876863	20040625
HK 1068610	A1	20060901	HK 2005-100706	20050127
US 2005171118	A1	20050804	US 2005-71726	20050303

PRIORITY APPLN. INFO.:

US 2001-296705P	P	20010607
US 2001-340212P	P	20011213
WO 2002-EP5890	W	20020529
US 2002-164660	A3	20020606
US 2004-876863	A1	20040625

OTHER SOURCE(S): MARPAT 138:24728

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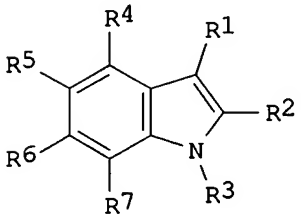

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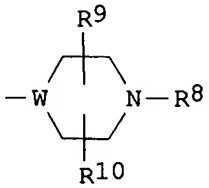


II

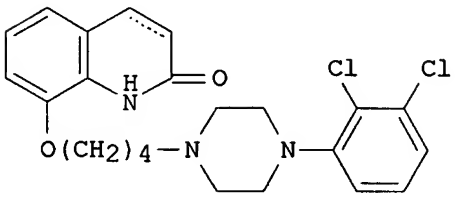
AB The title compds. [I; R1 = S(O)0-2A, COA, (CH2)0-1A (wherein A = (un)substituted aryl, heteroaryl); R2 = H, alkyl, alkoxy, alkylthio; R3 = H, alkyl; R4 = H, halo, alkyl, alkoxy, alkylthio, etc.; one of R5-R7 = II (wherein W = CH, N; R8-R10 = H, alkyl; or R8 and R9 together may form alkylene) and the others = H, halo, alkyl, etc.] and their pharmaceutically acceptable salts which have generally 5-HT6 receptor affinity, were prepared and formulated. E.g., a 6-step synthesis of I.HCl [R1 = SO2Ph; R2-R6 = H; R7 = piperazino], starting with 3-methyl-2-nitrophenol, which showed pKi of 9.28 against 5-HT6 receptor

binding, was given.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:889556 CAPLUS
DOCUMENT NUMBER: 137:363096
TITLE: Carbostyril derivative 5-HT1a receptor subtype agonist for treatment of central nervous system disorders
INVENTOR(S): Jordan, Shaun; Kikuchi, Tetsuro; Tottori, Katsura; Hirose, Tsuyoshi; Uwahodo, Yasufumi
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002173513	A1	20021121	US 2002-55915		20020128 <--
US 7053092	B2	20060530			
US 2004235860	A1	20041125	US 2004-876605		20040628
PRIORITY APPLN. INFO.:			US 2001-331370P	P	20010129
			US 2002-55915	A3	20020128

GI



I

AB The invention provides a method for treating a patient suffering from a disorder of the central nervous system associated with the 5-HT1a receptor subtype, comprising as an active ingredient a carbostyril derivative I (carbon-carbon bond between 3- and 4-positions in carbostyril skeleton is single or double bond), or a salt thereof.
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:868745 CAPLUS
DOCUMENT NUMBER: 137:369983
TITLE: Preparation of benzo[d]azepines as 5-HT6 receptor antagonists
INVENTOR(S): Bromidge, Steven Mark; Moss, Stephen Frederick
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002089811	A1	20021114	WO 2002-EP4804	20020502 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002341102	A1	20021118	AU 2002-341102	20020502 <--
EP 1392316	A1	20040303	EP 2002-750872	20020502
EP 1392316	B1	20050420		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004532240	T	20041021	JP 2002-586946	20020502
AT 293448	T	20050515	AT 2002-750872	20020502
ES 2238583	T3	20050901	ES 2002-750872	20020502
US 2004192671	A1	20040930	US 2004-476902	20040519
PRIORITY APPLN. INFO.:			GB 2001-11186 A	20010508
			WO 2002-EP4804 W	20020502

OTHER SOURCE(S): MARPAT 137:369983

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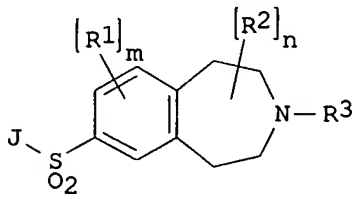

I

AB The title compds. [I; R1 = halo, alkyl, alkoxy, etc.; R2 = alkyl; R3 = H, (un)substituted alkyl; m = 0-3; n = 0-8; J = (un)substituted indol-1-yl, indazol-1-yl, carbazol-9-yl, etc.], useful in the treatment of disorders such like depression, anxiety and Alzheimer's disease, were prepared Thus, reacting indole with 3-acetyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-sulfonyl chloride followed by N-deacetylation afforded I [R1-R3 = H; J = indol-1-yl]. All exemplified compds. I showed pKi of 7.7-9.7 at human cloned 5-HT6 receptors.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849646 CAPLUS

DOCUMENT NUMBER: 137:353043

TITLE: Preparation of azabicyclylmethyl derivatives of 7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalene as 5-HT1A antagonists

INVENTOR(S): Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002088145	A1	20021107	WO 2002-US13114	20020425 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002303478	A1	20021111	AU 2002-303478	20020425 <--
US 2002183336	A1	20021205	US 2002-131917	20020425 <--
US 6780860	B2	20040824		
US 2005085475	A1	20050421	US 2004-878715	20040628
PRIORITY APPLN. INFO.:			US 2001-286818P	P 20010426
			US 2002-131917	A1 20020425
			WO 2002-US13114	W 20020425

OTHER SOURCE(S): MARPAT 137:353043

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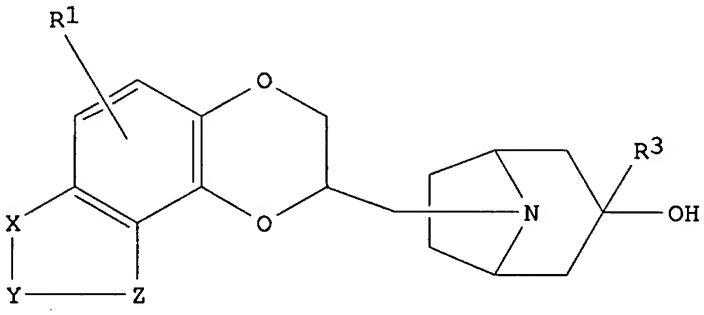

I

AB Azabicyclylmethyl derivs. of 7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalene [I; wherein X-Y-Z = N:C(R2)-O, N:C(R2)-NH, NH-C(R2):CH; R1 = H, halo, CN, carboxamido, carboalkoxy, CF3, etc.; R2 = H, halo, CF3, amino, mono- or dialkylamino, etc.; R3 = Ph, naphthyl, anthracyl, phenanthryl, pyridyl, pyrimidyl, etc.] were prepared For example, (8R)-2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-ylmethyl 4-methylbenzenesulfonate (synthetic preparation given) was reacted with 3-phenyl-8-azabicyclo[3.2.1]octan-3-ol to give 8-{[2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-yl]methyl}-3-phenyl-8-azabicyclo[3.2.1]octanol. The title compds. are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer 's disease or other neurodegenerative diseases, or schizophrenia and are also useful for the treatment of disorders such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as eating disorders, disorders of thermoregulation, and sleep and sexual dysfunction.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849633 CAPLUS

DOCUMENT NUMBER: 137:353033

TITLE: Preparation of azabicyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino-[2,3-f]quinoline as 5-HT1A antagonists

INVENTOR(S): Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088130	A1	20021107	WO 2002-US12953	20020425 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183322	A1	20021205	US 2002-131355	20020424 <--
US 6861427	B2	20050301		
AU 2002303462	A1	20021111	AU 2002-303462	20020425 <--
US 2006264437	A1	20061123	US 2004-13577	20041216

PRIORITY APPLN. INFO.:

US 2001-286576P	P	20010426
US 2002-131355	A3	20020424
WO 2002-US12953	W	20020425

OTHER SOURCE(S): MARPAT 137:353033
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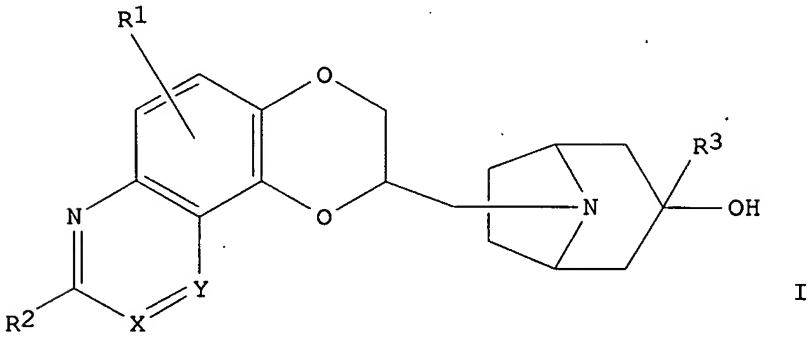


I

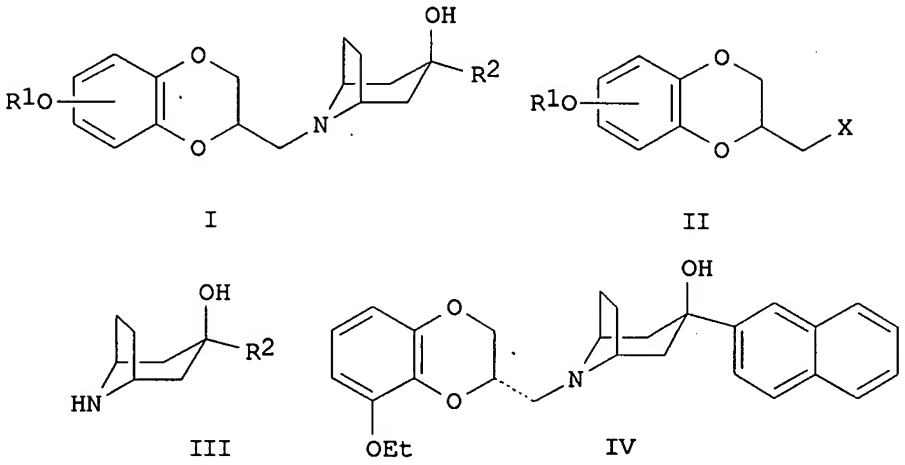
AB Azabicyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino-[2,3-f]quinoline [I; wherein X = N, CR4; Y = N, CH; R1 = H, halo, CN, carboxamido, carboalkoxy, CF3, etc.; R2 = H, OH, halo, amino, mono- or dialkylamino, etc.; R3 = Ph, naphthyl, anthracyl, phenanthryl, pyridyl, pyrimidyl, etc.; R4 = H, (C1-C6)alkyl] were prepared For example, (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl 4-methylbenzenesulfonate (synthetic preparation given) is reacted with 3-phenyl-8-aza-bicyclo[3.2.1]octan-3-ol to give the S-enantiomer of 8-{[8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl}-3-phenyl-8-azabicyclo[3.2.1]octan-3-ol. The title compds. are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer's disease or other neurodegenerative diseases, or schizophrenia and are also useful for the treatment of disorders such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as eating disorders, disorders of thermoregulation, and sleep and sexual dysfunction.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:832796 CAPLUS
DOCUMENT NUMBER: 137:337897
TITLE: Preparation of 8-aza-bicyclo[3.2.1]octan-3-ol derivatives of 2,3-dihydro-1,4-benzodioxan and their 5-HT1A antagonist activity
INVENTOR(S): Gilbert, Adam Matthew; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085900	A1	20021031	WO 2002-US12837	20020424 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003032648	A1	20030213	US 2002-128057	20020423
US 6656951	B2	20031202		
AU 2002250607	A1	20021105	AU 2002-250607	20020424 <--
US 2004063728	A1	20040401	US 2003-663533	20030916
PRIORITY APPLN. INFO.:			US 2001-286061P	P 20010424
			US 2002-128057	A1 20020423
			WO 2002-US12837	W 20020424

OTHER SOURCE(S): MARPAT 137:337897
GI



AB The title compds. I (R1 = 1-6 carbon straight chain alkyl, 3-8 carbon branched alkyl, R2 = Ph, naphthyl, pyridyl, etc.) were prepared by reacting benzodioxans II (X = halogen, SO2CF3, alkylsulfonate, etc.) with the corresponding hydroxy azabicyclooctanol derivs. III. Thus, naphthalenylazabicyclooctanol IV was prepared from tropinone,

2-bromonaphthalene, and (R)-toluene-4-sulfonic acid 8-ethoxy-2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl ester. In the HC 5-HT1A binding assay, IV had an activity of 5.9 nm Ki. I are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer's disease or other neurodegenerative diseases, or schizophrenia and also treatment of disorders related to excessive serotonergic stimulation, such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as appetite, thermoregulation, sleep and sexual behavior, which are known the be, at least in part, under serotonergic influence.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:832788 CAPLUS

DOCUMENT NUMBER: 137:337885

TITLE: Preparation of heterocyclyloxy-, heterocyclylthioxy- and heterocyclylaminobenzazoles as 5-hydroxytryptamine-6 (5-HT6) ligands

INVENTOR(S): Zhou, Ping; Harrison, Boyd Lynn; Li, Yanfang

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085892	A1	20021031	WO 2002-US12415	20020419 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2444095	A1	20021031	CA 2002-2444095	20020419 <--
AU 2002307424	A1	20021105	AU 2002-307424	20020419 <--
US 2003069278	A1	20030410	US 2002-126598	20020419
US 6815456	B2	20041109		
EP 1385842	A1	20040204	EP 2002-764248	20020419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 200303958	A2	20040428	HU 2003-3958	20020419
CN 1518547	A	20040804	CN 2002-812308	20020419
BR 2002009056	A	20040810	BR 2002-9056	20020419
JP 2004526787	T	20040902	JP 2002-583419	20020419
IN 2003KN01264	A	20060310	IN 2003-KN1264	20031006
NO 2003004648	A	20031120	NO 2003-4648	20031017
ZA 2003009004	A	20050221	ZA 2003-9004	20031119
US 2005065186	A1	20050324	US 2004-949062	20040924

PRIORITY APPLN. INFO.:

US 2001-285643P	P	20010420
US 2002-126598	A3	20020419
WO 2002-US12415	W	20020419

OTHER SOURCE(S): MARPAT 137:337885

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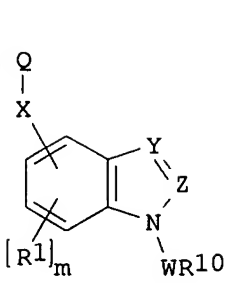

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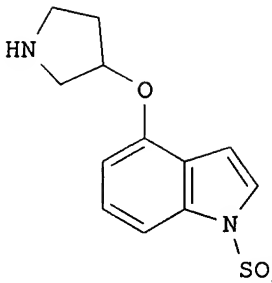

II

AB The title compds. [I; W = SO2, CO, CONH, CSNH, (CH2)x; X = O, SOn, NR11; Y = CR12, N; Z = CR13, N with the proviso that when Y = N then Z must be CR13; m, x = 0-3; Q = (un)substituted 3-pyrrolidinyl, 3-/or 4-piperidinyl; R1 = halo, CN, alkyl, etc.; R10 = alkyl, aryl, heteroaryl; R11 = H, alkyl, alkenyl, etc.; R12, R13 = H, halo, alkyl, etc.; n = 0-2], useful for the therapeutic treatment of disorders relating to or affected by the 5-HT6 receptor, were prepared E.g., a 3-step synthesis of II.HCl, starting from 3-pyrrolidinol, which showed Ki of 8.0 nM against 5-HT6 receptor binding, was given.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:832758 CAPLUS
DOCUMENT NUMBER: 137:337883
TITLE: Preparation of heterocyclylalkoxy-, heterocyclylalkylthio- and heterocyclylalkylaminobenzazoles as 5-hydroxytryptamine-6 (5-HT6) ligands
INVENTOR(S): Li, Yanfang; Zhou, Ping
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085853	A2	20021031	WO 2002-US12512	20020419 <--
WO 2002085853	A3	20021219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2444036	A1	20021031	CA 2002-2444036	20020419 <--
AU 2002309585	A1	20021105	AU 2002-309585	20020419 <--
US 2003078286	A1	20030424	US 2002-126805	20020419
US 6831094	B2	20041214		
HU 200303801	A2	20040301	HU 2003-3801	20020419
EP 1392682	A2	20040303	EP 2002-736592	20020419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

CN 1518548	A	20040804	CN 2002-812340		20020419
BR 2002009047	A	20040810	BR 2002-9047		20020419
JP 2004526781	T	20040902	JP 2002-583380		20020419
IN 2003KN01298	A	20060317	IN 2003-KN1298		20031013
NO 2003004647	A	20031120	NO 2003-4647		20031017
ZA 2003009009	A	20050221	ZA 2003-9009		20031119
US 2005065185	A1	20050324	US 2004-949061		20040924
IN 2004KO00858	A	20061027	IN 2004-KO858		20041227
PRIORITY APPLN. INFO.:			US 2001-285644P	P	20010420
			US 2002-126805	A3	20020419
			WO 2002-US12512	W	20020419
			IN 2003-KN1298	A3	20031013

OTHER SOURCE(S): MARPAT 137:337883

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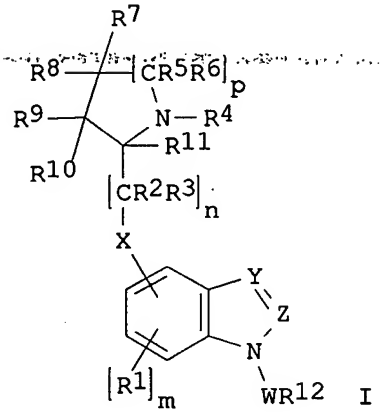

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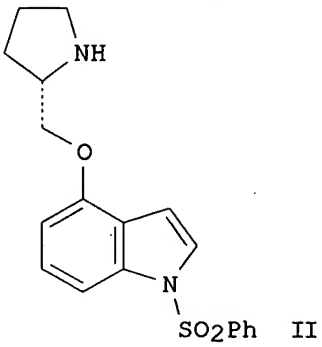

II

AB The title compds. [I; W = SO2, CO, CONH, CSNH, (CH2)x; X = O, SOy, NR13; Y = CR14, N; Z = CR15, N with the proviso that when Y = N then Z must be CR15; m, x = 0-3; n, p = 1-3; R1 = halo, CN, alkyl, etc.; R2-R3, R5-R11 = H, alkyl; R4 = H, alkyl, cycloalkyl, etc.; R12 = alkyl, aryl, heteroaryl; y = 0-2; R13 = H, alkyl, alkenyl, etc.; R14, R15 = H, halo, alkyl, etc.], useful for the therapeutic treatment of disorders relating to or affected by the 5-HT6 receptor, were prepared E.g., a 3-step synthesis of (2S)-II.HCl, starting from 4-hydroxyindole and (S)-1-tert-butoxycarbonyl-2-pyrrolidinemethanol, which showed Ki of 6.0 nM against 5-HT6 receptor binding, was given.

L4 ANSWER 18 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:831752 CAPLUS

DOCUMENT NUMBER: 137:337875

TITLE: Preparation of 6H-oxazolo[4,5-e]indoles as nicotinic acetylcholine receptor ligands and/or serotonergic ligands

INVENTOR(S): Boettcher, Henning; Schiemann, Kai; Leibrock, Joachim

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10121217	A1	20021031	DE 2001-10121217	20010430 <--
CA 2445835	A1	20021107	CA 2002-2445835	20020405 <--

WO 2002088139 A1 20021107 WO 2002-EP3784 20020405 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2002257752 A1 20021111 AU 2002-257752 20020405 <--
EP 1392699 A1 20040303 EP 2002-727527 20020405
EP 1392699 B1 20050316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
HU 200304034 A2 20040428 HU 2003-4034 20020405
JP 2004527562 T 20040909 JP 2002-585437 20020405
AT 291026 T 20050415 AT 2002-727527 20020405
ES 2239226 T3 20050916 ES 2002-2727527 20020405
US 2005101649 A1 20050512 US 2003-476306 20031029
PRIORITY APPLN. INFO.: DE 2001-10121217 A 20010430
WO 2002-EP3784 W 20020405
OTHER SOURCE(S): CASREACT 137:337875; MARPAT 137:337875
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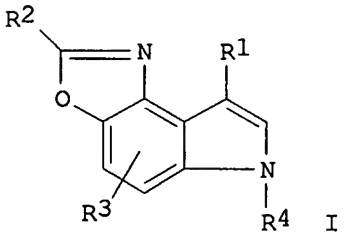

I

AB Title compds. [I; R1 = H, Het1; R2 = H, A, cycloalkyl, (CH2)pN(R5)2, (CH2)pOR5, (CH2)nAr, (CH2)nHet; R3 = H, halo, OH, OA, O(CH2)nAr; R4 = H, A, (CH2)nAr; R5 = H, A; A = (branched) C1-10 alkyl; Ar = (substituted) Ph, naphthyl, biphenyl; Het = 5-10 membered (un)saturated aromatic (substituted) mono- or bicyclic heterocyclyl; Het1 = 5-10 membered (un)saturated aromatic (substituted) mono-, bi-, tricyclic heterocyclyl; n = 0-8; p = 1-8], were prepared as nicotinic acetylcholine receptor ligands and/or serotonergic ligands (no data). Thus, MeNH2 and MnO2 were added to 5-hydroxy-1H-indole in DMF followed by stirring for 18 h at room temperature to give 6H-oxazolo[4,5-e]indole.

L4 ANSWER 19 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:831751 CAPLUS
DOCUMENT NUMBER: 137:337918
TITLE: Preparation of dihydroimidazo[4,5-e]indoles and 7H-pyrrolo[3,2-f]quinoxalines as nicotinic acetylcholine receptor ligands and/or serotonergic ligands
INVENTOR(S): Schiemann, Kai; Boettcher, Henning; Leibrock, Joachim
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10121215	A1	20021031	DE 2001-10121215	20010430 <--
CA 2445834	A1	20021107	CA 2002-2445834	20020330 <--
WO 2002088143	A2	20021107	WO 2002-EP3582	20020330 <--
WO 2002088143	A3	20030123		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002310904	A1	20021111	AU 2002-310904	20020330 <--
EP 1383774	A2	20040128	EP 2002-735200	20020330

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

HU 200304046	A2	20040428	HU 2003-4046	20020330
JP 2004529936	T	20040930	JP 2002-585441	20020330
US 2004142935	A1	20040722	US 2003-476234	20031029
PRIORITY APPLN. INFO.:			DE 2001-10121215 A	20010430
			WO 2002-EP3582 W	20020330

OTHER SOURCE(S): MARPAT 137:337918

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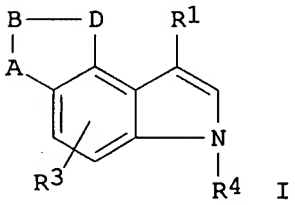

I

AB Title compds. [I; ABD = NR6CR2:N, N:CR2NR6, N:CR7CR8:N; R1 = H, Het1; R2 = H, (branched) alkyl, cycloalkyl, (CH2)nN(R5)2, (CH2)nOR5, (CH2)nAr, (CH2)nHet; R3 = H, halo, OH, alkoxy, O(CH2)nAr; R4 = H, (branched) alkyl, (CH2)nAr; R5 = H, (branched) alkyl; R6-R8 = H, (branched) alkyl, (CH2)nAr; or R7R8 = C3-6 alkylene, Ar = (substituted) Ph, naphthyl, biphenyl; Het = 5-10 membered (un)saturated aromatic (substituted) mono- or bicyclic heterocyclyl; Het1 = 5-10 membered (un)saturated aromatic (substituted) mono-, bi-, tricyclic heterocyclyl; n = 0-8], were prepared as nicotinic acetylcholine receptor ligands and/or serotonergic ligands (no data). Thus, 3-quinuclidinone hydrochloride and KOH were added to 5-nitro-1H-indole in H2O/MeOH followed by stirring for 48 h at boiling temperature to give 3-(5-nitro-1H-indol-3-yl)-1-azabicyclo[2,2,2]oct-2-ene which was treated with H2 and Pd/C in MeOH. The resulting 3-(1-azabicyclo[2,2,2]oct-3-yl)-1H-indol-5-ylamine was stirred with EtNH2 and MnO2 in DMF for 12 h at room temperature to give 8-(1-aza-bicyclo[2,2,2]oct-3-yl)-2-methyl-3,6-dihydroimidazo[4,5-e]indole.

L4 ANSWER 20 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:814288 CAPLUS

DOCUMENT NUMBER: 137:325411

TITLE: Thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters

INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heffernan, Michele L.; Holland, Joanne M.; Persons, Paul E.; Radeke, Heike

PATENT ASSIGNEE(S): Sepracor, Inc., USA

SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083863	A2	20021024	WO 2002-US11692	20020412 <--
WO 2002083863	A3	20040212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002314744	A1	20021028	AU 2002-314744	20020412 <--
US 2003105071	A1	20030605	US 2002-123089	20020412
US 6699866	B2	20040302		
US 2004235913	A1	20041125	US 2004-786612	20040225
US 7087623	B2	20060808		

PRIORITY APPLN. INFO.:

US 2001-284159P	P	20010417
US 2001-313648P	P	20010820
US 2002-123089	A3	20020412
WO 2002-US11692	W	20020412

OTHER SOURCE(S): MARPAT 137:325411

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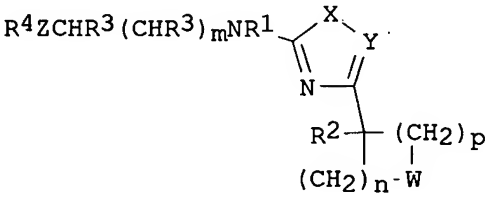

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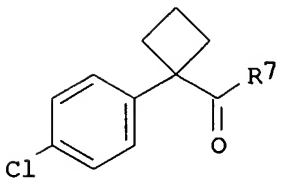

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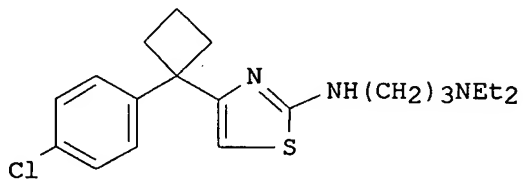

III

AB Title compds. I [W = CH2, O, NR; X = O, S; Y = CR5, N; Z = NR6, O; R, R1, R4 = H, alkyl; R2 = aryl, heteroaryl; R3 = H, alkyl, alkoxy, alkylamino; R5 = H, alkyl, halogen; R6 = H, alkyl, aryl, aralkyl; R1R3, R1R4, R3R4, R3R6, R4R6 = bond; m, n = 0-3; p = 1-3] and their stereoisomers were prepared for use as ligands for various mammalian cellular receptors, including G-protein coupled receptors, such as mammalian dopamine,

muscarinic or serotonin receptors or transporters. These compds. will find use in the treatment of ailments, such as addiction, anxiety, depression, sexual dysfunction, hypertension, migraine, Alzheimer 's disease, obesity, emesis, psychosis, analgesia, schizophrenia, Parkinson's disease, restless leg syndrome, sleeping disorders, attention deficit hyperactivity disorder, irritable bowel syndrome, premature ejaculation, menstrual dysphoria syndrome, urinary incontinence, inflammatory pain, neuropathic pain, Lesche-Nyhane disease, Wilson's disease, Tourette's syndrome, psychiatric disorders, stroke, senile dementia, peptic ulcers, pulmonary obstruction disorders, and asthma. Thus, the acid II [R7 = OH] was converted to II [R7 = CH2Cl] and treated with Et2N(CH2)3NHCSNH2 to give the thiazole III. III had IC50 for 5-HT2c receptor binding <100 nM and d3 receptor binding <1000 nM.

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L1         13577 S 5-HT RECEPTOR?
L2          8597 S L1 AND PY<2003
L3          1178 S L2 AND DISORDER?
L4           100 S L3 AND ALZHEIMER?
L5            31 S L4 AND PARKINSON?

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